

PRELIMINARY REMARKS

Claims 6 to 8 and 11 to 23 as set forth in Appendix II of this paper are now pending in this case. Claims 1 to 5, 9 and 10 have been canceled, Claims 6 to 8 have been amended, and Claims 11 to 23 have been added as indicated in Appendix I of this paper.


Accordingly, Claims 6 to 8 have been rewritten in independent form, introducing the features originally incorporated by reference to a previous claim based on the corresponding definitions found in Claim 1 as allowed in the parent application. Additionally, new Claims 11 to 23 have been added to further bring out the subsidiary embodiments of the subject matter defined in Claims 6 to 8 which correspond to the embodiments of Claims 2 to 5 of the parent application. Subject matter which overlaps with the claims allowed in the parent case has been canceled. No new matter has been added.

The specification has been amended to include a proper reference to the parent application.

Please charge any shortage in fees due in connection with the filing of this paper, including Extension of Time fees to Deposit Account No. 11.0345. Please credit any excess fees to such deposit account.

Respectfully submitted,

KEIL & WEINKAUF


Herbert B. Keil
Reg. No. 18,967

1350 Connecticut Ave, N.W.
Washington, D.C. 20036
(202) 659-0100

Encl.: THE SUBSTITUTE SECTION(S) OF THE SPECIFICATION (Appendix I)
THE CHANGE(S) IN THE SPECIFICATION (Appendix II)
THE LISTING OF CLAIMS (Appendix III)
THE AMENDED CLAIMS (Appendix IV)

HBK/BAS

A P P E N D I X I:

THE SUBSTITUTE SECTION(S) OF THE SPECIFICATION (clean version):

On page 1:

- After the title and prior to the first paragraph, ie. at indicated line 3, insert the following new paragraph:

This is a Divisional application of Application Serial No. 09/879,283, filed on June 12, 2001 (*allowed*), which claims the benefit under 35 U.S.C. 119(e) of U.S. provisional applications 60/211,262, filed June 13, 2000, and 60/231,632, filed September 11, 2000.

A P P E N D I X II:

THE CHANGE(S) IN THE SPECIFICATION (version with markings):

On page 1:

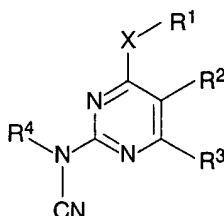
- After the title and prior to the first paragraph, ie. at indicated line 3, the following new paragraph has been added:

This is a Divisional application of Application Serial No. 09/879,283, filed on June 12, 2001 (allowed), which claims the benefit under 35 U.S.C. 119(e) of U.S. provisional applications 60/211,262, filed June 13, 2000, and 60/231,632, filed September 11, 2000.

A P P E N D I X III:

THE LISTING OF CLAIMS, (version with markings, showing the changes made):

1. (canceled)
2. (canceled)
3. (canceled)
4. (canceled)
5. (canceled)
6. (currently amended) A process for the preparation of [pyrimidines] a pyrimidine of formula I [~~according to claim 1~~]



(I)

in which

R¹ represents C₁-C₁₀-alkyl, C₁-C₁₀-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₄-C₈-alkadienyl, C₁-C₁₀-alkoxy, C₃-C₆-cycloalkyl, phenyl, tri-C₁-C₆-alkyl-silyl, formyl or C₁-C₁₀-alkoxy-carbonyl, wherein R¹ groups are unsubstituted or substituted by one to three groups R^a;

R^a is halogen, nitro, cyano, hydroxy, or

is C₁-C₁₀-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl, C₁-C₁₀-haloalkyl, C₃-C₆-halocycloalkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-haloalkoxy, C₁-C₁₀-alkoxycarbonyl, tri-C₁-C₄-alkyl-silyl, phenyl, halo- or dihalophenyl;

R² represents phenyl or C₃-C₆-cycloalkyl, which are unsubstituted or substituted by one to three groups R^a;

R³ represents hydrogen, halogen, or

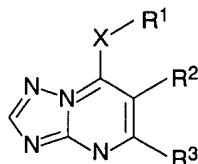
is C₁-C₁₀-alkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-alkylthio, C₁-C₁₀-alkylamino or di-C₁-C₁₀-alkylamino, which are unsubstituted or substituted by one to three groups R^a;

R⁴ represents C₁-C₁₀-alkyl, C₂-C₆-alkenyl or C₂-C₆-alkynyl, which are unsubstituted or substituted by one to three groups R^a; and

X represents O, S, NR⁵ or a single bond, wherein R⁵ represents hydrogen, C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl; or

R¹ and R⁵ together with the interjacent nitrogen atom form a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, tetrahydropyridine and azepane, which ring is optionally substituted by one or more C₁-C₁₀-alkyl groups,

[wherein R⁴ is optionally substituted alkyl, alkenyl or alkynyl by] which process comprises treating [compounds] a compound of [the] formula II



II

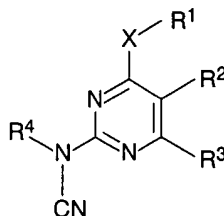
[in which R¹ through R³ and X are as defined in formula I,] with a base and an alkylation agent of formula III

R⁴-Y

III

in which [R⁴ is C₁-C₆-alkyl, C₁-C₆-alkenyl or C₁-C₆-alkynyl, which are unsubstituted or substituted by one to three groups R^a, and] Y represents [lacuna] a halogen atom.

7. (currently amended) A process for the preparation of [pyrimidines] a pyrimidine of formula I [~~according to claim 1~~]



(I)

in which

R¹ represents C₁-C₁₀-alkyl, C₁-C₁₀-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₄-C₈-alkadienyl, C₁-C₁₀-alkoxy, C₃-C₈-cycloalkyl, phenyl, tri-C₁-C₆-alkyl-silyl, formyl or C₁-C₁₀-alkoxycarbonyl, wherein R¹ groups are unsubstituted or substituted by one to three groups R^a;

R^a is halogen, nitro, cyano, hydroxy, or

is C₁-C₁₀-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl, C₁-C₁₀-haloalkyl, C₃-C₆-halocycloalkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-haloalkoxy, C₁-C₁₀-alkoxycarbonyl, tri-C₁-C₄-alkyl-silyl, phenyl, halo- or dihalophenyl;

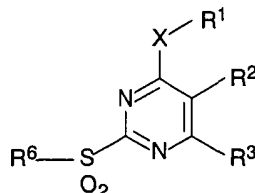
R² represents phenyl or C₃-C₆-cycloalkyl, which are unsubstituted or substituted by one to three groups R^a;

R³ represents hydrogen, halogen, or
is C₁-C₁₀-alkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-alkylthio, C₁-C₁₀-alkyla-
mino or di-C₁-C₁₀-alkylamino, which are unsubstituted or sub-
stituted by one to three groups R^a;

R⁴ represents C₁-C₁₀-alkyl, C₂-C₆-alkenyl or C₂-C₆-alkynyl, which
are unsubstituted or substituted by one to three groups R^a;
and

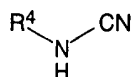
X represents O, S, NR⁵ or a single bond, wherein R⁵ represents
hydrogen, C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl; or

R¹ and R⁵ together with the interjacent nitrogen atom form a het-
erocyclic ring selected from the group consisting of pyrroli-
dine, piperidine, tetrahydropyridine and azepane, which ring
is optionally substituted by one or more C₁-C₁₀-alkyl groups,
[wherein R⁴ C₁-C₆-alkyl, C₁-C₆-alkenyl or C₁-C₆-alkynyl, which are unsub-
stituted or substituted by one to three groups R^a by] which process
comprises reacting [sulfones] a sulfone of formula VI



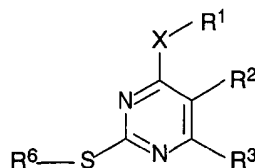
VI

in which [~~R¹ through R³ and X are as defined in formula I and~~] R⁶ is
 C₁-C₆-alkyl or C₁-C₆-haloalkyl; with an alkylated [~~cyanamides~~] cya-
namide of formula VII



VII

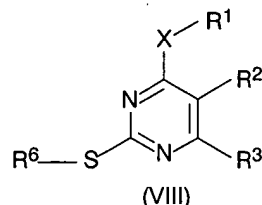
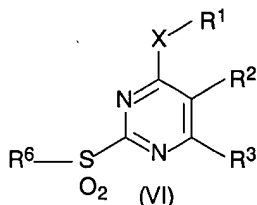
[~~in which R⁴ is C₁-C₆-alkyl, C₁-C₆-alkenyl or C₁-C₆-alkynyl which are un-~~
~~substituted or substituted by one to three groups R^a;~~] wherein [~~sul-~~
~~fones~~] the sulfone of formula VI [~~are~~] is obtained by reacting a
2-thiopyrimidine [~~derivatives~~] compound of formula VIII



VIII

[~~in which the variables are as defined in formula VI;~~] with an oxidiz-
ing [agents] agent.

8. (currently amended) [~~Compounds~~] A compound of [~~formulae~~] formula VI
 [~~and~~] or VIII [~~as defined in claim 7.~~]



wherein

R¹ represents C₁-C₁₀-alkyl, C₁-C₁₀-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₄-C₈-alkadienyl, C₁-C₁₀-alkoxy, C₃-C₈-cycloalkyl, phenyl, or

5- or 6-membered heteroaryl or 5- or 6-membered heterocyclyl consisting of carbon ring members and heteroatoms as ring members, and containing as heteroatoms one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, or

tri-C₁-C₆-alkyl-silyl, formyl or C₁-C₁₀-alkoxycarbonyl;

wherein R¹ groups are unsubstituted or substituted by one to three groups R^a

R^a halogen, nitro, cyano, hydroxy or

C₁-C₁₀-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl, C₁-C₁₀-haloalkyl, C₃-C₆-halocycloalkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-haloalkoxy, C₁-C₁₀-alkoxycarbonyl, tri-C₁-C₄-alkyl-silyl, phenyl, halo- or dihalophenyl or 5- or 6-membered heteroaryl consisting of carbon ring members and heteroatoms as ring members, and containing as heteroatoms one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom;

R² represents phenyl which is unsubstituted or substituted by two or three groups R^a;

R³ represents chlorine;

X represents NR⁵, wherein R⁵ represents hydrogen or C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl; or

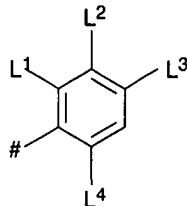
R¹ and R⁵ together with the interjacent nitrogen atom form a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, tetrahydropyridine and azepane, which ring is optionally substituted by one or more C₁-C₁₀-alkyl groups; and

R⁶ represents C₁-C₆-alkyl or C₁-C₆-haloalkyl.

9. (canceled)

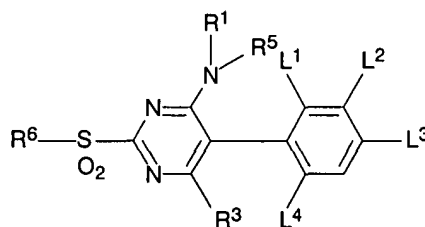
10. (canceled)

11. (new) The compound of formula VI or VIII defined in claim 8, in which R² represents a phenyl group of formula



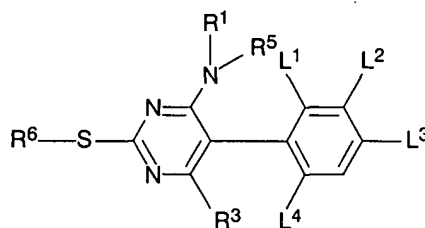
wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

12. (new) The compound of formula VI defined in claim 8 which is represented by formula



in which L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

13. (new) The compound of formula VIII defined in claim 8 which is represented by formula

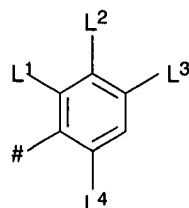


in which L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

14. (new) The compound of formula VI or VIII defined in claim 8 in which R³ represents chlorine.

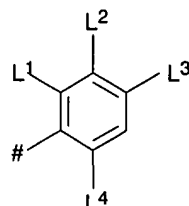
15. (new) The compound of formula VI or VIII defined in claim 8 in which R⁴ represents C₁-C₆-alkyl or benzyl.

16. (new) The process of claim 7, in which R² of formulae I, VI and VIII represents a phenyl group of formula



wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

17. (new) The process of claim 7, in which X of formulae I, VI and VIII represents NR⁵.
18. (new) The process of claim 7, in which R³ of formulae I, VI and VIII represents chlorine.
19. (new) The process of claim 7, in which R⁴ of formulae I and VII represents C₁-C₆-alkyl or benzyl.
20. (new) The process of claim 6, in which R² of formulae I and II represents a phenyl group of formula



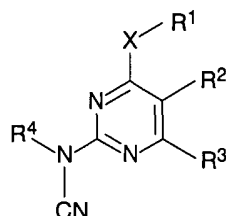
wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

21. (new) The process of claim 6, in which X of formulae I and II represents NR⁵.
22. (new) The process of claim 6, in which R³ of formulae I and II represents chlorine.
23. (new) The process of claim 6, in which R⁴ of formulae I and III represents C₁-C₆-alkyl or benzyl.

A P P E N D I X IV:

THE AMENDED CLAIMS (clean version of all claims):

6. (currently amended) A process for the preparation of a pyrimidine of formula I



(I)

in which

R¹ represents C₁-C₁₀-alkyl, C₁-C₁₀-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₄-C₈-alkadienyl, C₁-C₁₀-alkoxy, C₃-C₈-cycloalkyl, phenyl, tri-C₁-C₆-alkyl-silyl, formyl or C₁-C₁₀-alkoxy-carbonyl, wherein R¹ groups are unsubstituted or substituted by one to three groups R^a;

R^a is halogen, nitro, cyano, hydroxy, or

is C₁-C₁₀-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl, C₁-C₁₀-haloalkyl, C₃-C₆-halocycloalkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-haloalkoxy, C₁-C₁₀-alkoxycarbonyl, tri-C₁-C₄-alkyl-silyl, phenyl, halo- or dihalophenyl;

R² represents phenyl or C₃-C₆-cycloalkyl, which are unsubstituted or substituted by one to three groups R^a;

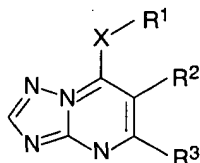
R³ represents hydrogen, halogen, or

is C₁-C₁₀-alkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-alkylthio, C₁-C₁₀-alkylamino or di-C₁-C₁₀-alkylamino, which are unsubstituted or substituted by one to three groups R^a;

R⁴ represents C₁-C₁₀-alkyl, C₂-C₆-alkenyl or C₂-C₆-alkynyl, which are unsubstituted or substituted by one to three groups R^a; and

X represents O, S, NR⁵ or a single bond, wherein R⁵ represents hydrogen, C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl; or

R¹ and R⁵ together with the interjacent nitrogen atom form a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, tetrahydropyridine and azepane, which ring is optionally substituted by one or more C₁-C₁₀-alkyl groups, which process comprises treating a compound of formula II



II

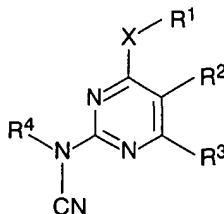
with a base and an alkylation agent of formula III



III

in which Y represents a halogen atom.

7. (currently amended) A process for the preparation of a pyrimidine of formula I



(I)

in which

R^1 represents C_1 - C_{10} -alkyl, C_1 - C_{10} -haloalkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_4 - C_8 -alkadienyl, C_1 - C_{10} -alkoxy, C_3 - C_8 -cycloalkyl, phenyl, tri- C_1 - C_6 -alkyl-silyl, formyl or C_1 - C_{10} -alkoxycarbonyl, wherein R^1 groups are unsubstituted or substituted by one to three groups R^a ;

R^a is halogen, nitro, cyano, hydroxy, or

is C_1 - C_{10} -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkenyl, C_1 - C_{10} -haloalkyl, C_3 - C_6 -halocycloalkyl, C_1 - C_{10} -alkoxy, C_1 - C_{10} -haloalkoxy, C_1 - C_{10} -alkoxycarbonyl, tri- C_1 - C_4 -alkyl-silyl, phenyl, halo- or dihalophenyl;

R^2 represents phenyl or C_3 - C_6 -cycloalkyl, which are unsubstituted or substituted by one to three groups R^a ;

R^3 represents hydrogen, halogen, or

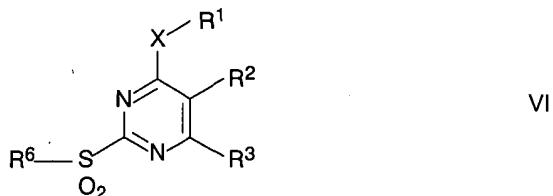
is C_1 - C_{10} -alkyl, C_1 - C_{10} -alkoxy, C_1 - C_{10} -alkylthio, C_1 - C_{10} -alkylamino or di- C_1 - C_{10} -alkylamino, which are unsubstituted or substituted by one to three groups R^a ;

R^4 represents C_1 - C_{10} -alkyl, C_2 - C_6 -alkenyl or C_2 - C_6 -alkynyl, which are unsubstituted or substituted by one to three groups R^a ; and

X represents O, S, NR^5 or a single bond, wherein R^5 represents hydrogen, C_1 - C_{10} -alkyl or C_1 - C_{10} -haloalkyl; or

R^1 and R^5 together with the interjacent nitrogen atom form a heterocyclic ring selected from the group consisting of pyrroli-

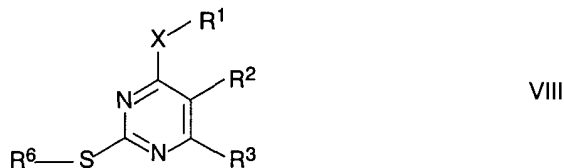
dine, piperidine, tetrahydropyridine and azepane, which ring is optionally substituted by one or more C₁-C₁₀-alkyl groups, which process comprises reacting a sulfone of formula VI



in which R⁶ is C₁-C₆-alkyl or C₁-C₆-haloalkyl; with an alkylated cyanamide of formula VII

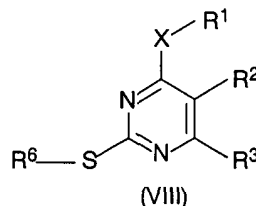
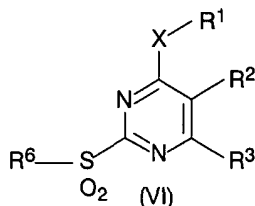


wherein the sulfone of formula VI is obtained by reacting a 2-thiopyrimidine compound of formula VIII



with an oxidizing agent.

8. (currently amended) A compound of formula VI or VIII



wherein

R¹ represents C₁-C₁₀-alkyl, C₁-C₁₀-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₄-C₈-alkadienyl, C₁-C₁₀-alkoxy, C₃-C₈-cycloalkyl, phenyl, or

5- or 6-membered heteroaryl or 5- or 6-membered heterocyclyl consisting of carbon ring members and heteroatoms as ring members, and containing as heteroatoms one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, or

tri-C₁-C₆-alkyl-silyl, formyl or C₁-C₁₀-alkoxycarbonyl;

wherein R¹ groups are unsubstituted or substituted by one to three groups R^a

R^a halogen, nitro, cyano, hydroxy or

C₁-C₁₀-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl, C₁-C₁₀-haloalkyl, C₃-C₆-halocycloalkyl, C₁-C₁₀-alkoxy, C₁-C₁₀-haloalkoxy, C₁-C₁₀-alkoxycarbonyl, tri-C₁-C₄-alkylsilyl, phenyl, halo- or dihalophenyl or 5- or 6-membered heteroaryl consisting of carbon ring members and heteroatoms as ring members, and containing as heteroatoms one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom;

R² represents phenyl which is unsubstituted or substituted by two or three groups R^a;

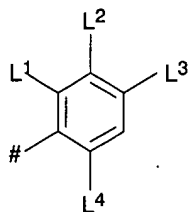
R³ represents chlorine;

X represents NR⁵, wherein R⁵ represents hydrogen or C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl; or

R¹ and R⁵ together with the interjacent nitrogen atom form a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, tetrahydropyridine and azepane, which ring is optionally substituted by one or more C₁-C₁₀-alkyl groups; and

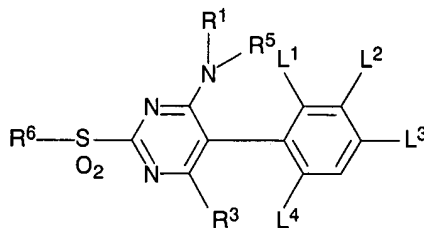
R⁶ represents C₁-C₆-alkyl or C₁-C₆-haloalkyl.

11. (new) The compound of formula VI or VIII defined in claim 8, in which R² represents a phenyl group of formula



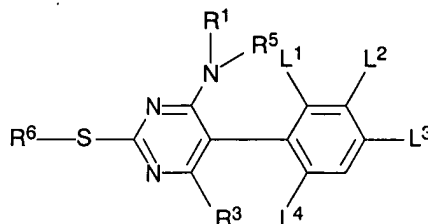
wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

12. (new) The compound of formula VI defined in claim 8 which is represented by formula



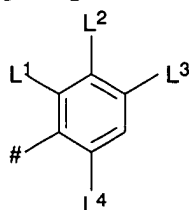
in which L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

13. (new) The compound of formula VIII defined in claim 8 which is represented by formula



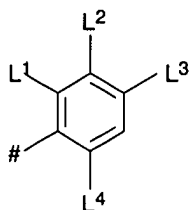
in which L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

14. (new) The compound of formula VI or VIII defined in claim 8 in which R³ represents chlorine.
15. (new) The compound of formula VI or VIII defined in claim 8 in which R⁴ represents C₁-C₆-alkyl or benzyl.
16. (new) The process of claim 7, in which R² of formulae I, VI and VIII represents a phenyl group of formula



wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

17. (new) The process of claim 7, in which X of formulae I, VI and VIII represents NR⁵.
18. (new) The process of claim 7, in which R³ of formulae I, VI and VIII represents chlorine.
19. (new) The process of claim 7, in which R⁴ of formulae I and VII represents C₁-C₆-alkyl or benzyl.
20. (new) The process of claim 6, in which R² of formulae I and II represents a phenyl group of formula



wherein L¹ through L⁴ each independently represent hydrogen, fluorine, chlorine or methoxy.

21. (new) The process of claim 6, in which X of formulae I and II represents NR⁵.
22. (new) The process of claim 6, in which R³ of formulae I and II represents chlorine.
23. (new) The process of claim 6, in which R⁴ of formulae I and III represents C₁-C₆-alkyl or benzyl.